

Publication

Antiprotozoal selectivity of diimidazoline N-phenylbenzamides

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We discovered three diimidazolines with high antiplasmodial selectivity that had IC₅₀ values of 1.9-28 nM against cultured *Plasmodium falciparum*. We also identified a gem-dimethyl diimidazolines with high antitrypanosomal selectivity that had an IC₅₀ value of 26 nM against cultured *Trypanosoma brucei rhodesiense*. Two 2-imidazoline heterocycles in a para orientation on a N-phenylbenzamide or similar core structure were required for high antiprotozoal activity. Ring expansion of the imidazoline as well as heterocyclic variants with pK(a) values of < 7 all decreased activity significantly.

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